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Synthesis and Characterisation of Starch Glutamate as a Novel Superdisintegrant

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ABSTRACT

Superdisintegrants have been developed to improve the disintegration process of the fast dissolving tablets. Superdisintegrants acts as structural weakner in fast dissolving tablets and helps in the quick breakup of the tablet into small particles. A new novel superdisintegrant starch glutamate has been synthesized by esterification process and characterized by the FTIR, X-ray diffraction and scanning electron microscopy. Starch glutamate physical and micromeritics properties have been evaluated.The prepared starch glutamate was in soluble in aqueous buffers, organic and in-organic solvents tested. It was free flowing, amorphous in nature, having good swelling index, excellent flow property. The swelling index of starch glutamate was found to be 1200 indicating the suitability of starch glutamate as superdisintegrant in the formulation of fast dissolving dosage forms.

Keywords: Superdisintegrants, Starch glutamate, Swelling index.

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INTRODUCTION

Oral route is the most preferred route for administration of various drugs. Disintegrating agents are substances routinely included in the fast dissolving tablet formulations to help in the break-up of the compacted mass into the primary particles to facilitate the dissolution or release of the active ingredients when it is come in contact with a fluid environment. Disintegrants helps in the moisture penetration and dispersion of the tablet matrix. The major function of disintegrants is to oppose the efficiency of the tablet binder and physical forces that act under compression to structure the tablet. Recently "superdisintegrants" have been developed to improve the disintegration processes of the fast dissolving tablets. Superdisintegrants are having swelling properties which swells by absorbing significant amount of water or aqueous fluids resulting into breakup of the tablet into small particles. They are physically dispersed within the matrix of the fast dissolving tablets dosage form and will expand when the tablet is exposed to the wet environment. Superdisintegrants are more effective at lower concentrations with greater disintegrating efficiency.

In the present study a new superdisintegrant starch glutamate was synthesized from the native potato starch by esterification process. Starch glutamate's physical and micromeritics properties were evaluated and characterized by Fourier transform spectrophotometry (FTIR), X-ray diffraction (XRD) and scanning electron microscopy (SEM).

MATERIALS AND METHODS

Materials:

Glutamic acid, potato starch, acetone, hydrochloric acid, potassium di hydrogen phosphate, dimethyl sulfoxide procured from the SD Fine chemicals.

Preparation of Starch Glutamate:

10parts of potato starch was accurately weighed and dispersed in the 25parts of distilled water to make starch slurry. 10parts of glutamic acid was weighed and dissolved in distilled water and then it was added to the starch slurry. pH of the dispersion (Glutamic acid and starch slurry) was adjusted to 3.5 by adding 10ml of sodium hydroxide, then it was conditioned for 16hr to complete the reaction between potato starch and glutamic acid. After conditioning, this dispersion was washed with distilled water to remove unreacted glutamic acid, and then this solid mass was dried at 60°C temperature to form starch glutamate. The dried starch glutamate was passed through #120 sieve to obtain uniform sized particle and stored in a desiccator.

Characterisation of Starch Glutamate [1]:

Starch glutamate was prepared evaluated for the following parameters

Solubility:

Solubility of the prepared starch glutamate was tested in water, aqueous buffer of pH 1, 2, 3, 4, 5, 6, 7.4 and organic solvents like alcohol, acetone, dichloromethane, chloroform and petroleum ether [2].

pH:

1% w/v aqueous dispersion of the starch glutamate pH was measured by using pH meter [2].

Melting Point:

Melting point the starch glutamate was measured by using the melting point apparatus. Starch glutamate was filled into the capillary tube and this capillary tube placed into the slot present in the melting point apparatus and measures the melting point [2].

Viscosity:

1% w/v aqueous dispersion of the starch glutamate viscosity was measured by using Ostwald viscometer [2].

Swelling Index:

200mg of the starch glutamate as accurately weighed and taken into two graduated measuring cylinders which were previously containing 10ml of distilled water in one measuring cylinder and light liquid paraffin in another measuring cylinder. These measuring cylinders were kept aside for 12hr without any disturbances. After 12hr the volume of the starch glutamate residue in the two measuring cylinders were noted. Swelling index of the starch glutamate was calculated by using the following formula[3].

$$S.I\ (\%) = \frac{\text{Volume of the residue in water-Volume of the residue in light liquid paraffin}}{\text{Volume of residue in light liquid paraffin}}$$

Gelling Property:

Gelling property [4] of prepared starch glutamate and starch was evaluated by preparing the 7% w/v dispersion of the starch glutamate and starch by dispersing them in the distilled water, and then these dispersions were heated at 100°C for 30min.

Moisture Absorption:

Hygroscopic nature of the starch glutamate was evaluated by placing the starch glutamate in desiccator by maintaining the relative humidity 84% at room temperature.

Particle Size Determination:

Particle size distribution of the prepared starch glutamate was evaluated by sieve analysis method, by placing the standard sieves successively in descending order and allowed to pass the starch glutamate through these successive sieves. Then the amount of starch glutamate was collected on the each sieve was weighed and from this particle size was determined [4].

Density:

Starch glutamate was dispersed in the distilled water and density (g/cc) of this dispersion was measured by using liquid displacement method.

Bulk Density & Tapped Density:

Required quantity of the starch glutamate was weighed and taken into a 50ml of graduated measuring cylinder. Before performing the test initial volume occupied by the starch glutamate was measured and noted. After words the measuring cylinder was tapped for 50 times and measured the final volume of the starch glutamate. With the help of initial and final volumes of starch glutamate in the measuring cylinders bulk and tapped density of the starch glutamate was calculated from the following formula.

$$\text{Bulk density} = \frac{\text{Mass of the powder}}{\text{Volume of the packing}}$$

$$\text{Tapped bulk denity} = \frac{\text{Mass of the powder}}{\text{Tapped volume of the powder}}$$

Angle of Repose:

Ideal property of a novel superdisintegrant is, it must have good flow property. The prepared starch glutamate flow property can be determined by using the fixed funnel method. Fixed funnel method provides the angle between the surface of the pile of the powder and horizontal plane which was also defined as the angle of repose. Angle of repose can be calculated by using the following formula.

$$\tan\theta = \frac{h}{r} \qquad \theta = \tan^{-1}\frac{h}{r}$$

Where θ *=angle of repose, h = height of the pile, r = radius of the pile.*

Compressibility Index:

Compressibility index of the starch glutamate was calculated from the bulk density and tapped density. Compressibility index help to determine the inter-particulate interactions in starch glutamate. It can be measured by using the following formula,

$$\text{Compressibility index} = \frac{\text{Final} - \text{Inital}}{\text{Final}} \text{X } 100$$

Where Final=Tapped bulk density, initial =Loose bulk density.

Fourier Transform Infrared Spectroscopy (FTIR) [5]:

Fourier transform infrared spectroscopy identifies the functional group present in a unknown compound. Potato starch and starch glutamate was characterised by FTIR spectrum. FTIR spectrum of the potato starch and starch glutamate was measured by using potassium bromide in Bruker FTIR (Tokyo, Japan) at a spectrum from 4000-500cm^{-1} by applying a pressure of 800MPa for 5-10 minutes to determine the ester functional group in the starch glutamate.

X-ray Diffraction [5]:

The characteristic nature (amorphours or crystalline) of starch glutamate was determined by X-ray diffractometer with the help of Ni filter at a voltage of 45kV, 40mA current and at a full scale i.e. 2000.

Scanning Electron Microscopy [6]**:**

Starch and starch glutamate surface morphology was known by using the scanning electron microscopy (SEM).

RESULTS AND DISCUSSION

Starch glutamate was insoluble in all aqueous and organic solvents like alcohol, dichloromethane, chloroform, acetone, and petroleum ether. 1% w/v aqueous dispersion of starch glutamate was having the pH of 2.88, which means the prepared starch glutamate was not having any acidic property. Melting point of the starch glutamate was 325°C, indicating that the starch glutamate is suitable for manufacturing of the tablets by wet-granulation technique which can withstand higher temperatures. 1% w/v aqueous dispersion of starch glutamate was having the viscosity of 1.08cps and swelling index as 1200. Higher the swelling index of the starch glutamate helps in the quick disintegration of the fast dissolving tablet into small particles when it comes in contact with the fluid medium by exerting the swelling forces on the tablet, which was the one of the characteristic property of an ideal superdisintegrant. It did not exhibit any gelling property. Particle size of the starch glutamate was found to be 158 µm, it indicates smaller the particle size more will be the surface area and help in the quick disintegration of the tablet, leads to increase in the dissolution efficiency of the tablet. Starch glutamate angle of repose and compressibility index was found to be 27.47° and 14.23% respectively. The results indicate that the starch glutamate have excellent flow property and good compressibility index. Physical and micromeritics properties of starch glutamate were given in the Table 1.

Table 1: Physical and Micromeritics Properties of Starch Glutamate

Parameter	Observation
Solubility	Insoluble in all aqueous and organic solvent (alcohol, dichloromethane, chloroform, acetone and petroleum ether)
pH (1% aqueous dispersion)	2.88
Melting point	Charred at 325^{0}C
Viscosity (1%w/v aqueous dispersion)	1.08cps
Swelling index	1200
Gelling property	It did not exhibit any gelling property as that of potato starch..
Moisture absorption	4.4%
Particle size	158µm (80/120 mesh)
Density	0.584g/cc
Bulk density	0.562g/cc
Angle of repose	27.47^0
Compressibility Index	14.23%

Fourier transform infrared spectrum (FTIR) of starch glutamate and potato starch were represented in figures 1&2. A peak at 1619.29cm^{-1} in FTIR spectrum of starch glutamate indicates the ester group, whereas this peak was absent in the FTIT spectrum of the potato starch, which means an ester has been formed when potato starch was allowed to react with the glutamic acid. X-ray diffraction of the starch glutamate was given in Figure 3. There were no characteristic peaks observed in the diffractogram of the starch glutamate indicates that the starch glutamate was in amorphous form. Scanning electron microscopy (SEM) images of the starch glutamate and starch was representing in Figures 4 & 5. SEM images of the starch glutamate indicate that it was in amorphous form which was different from potato starch.

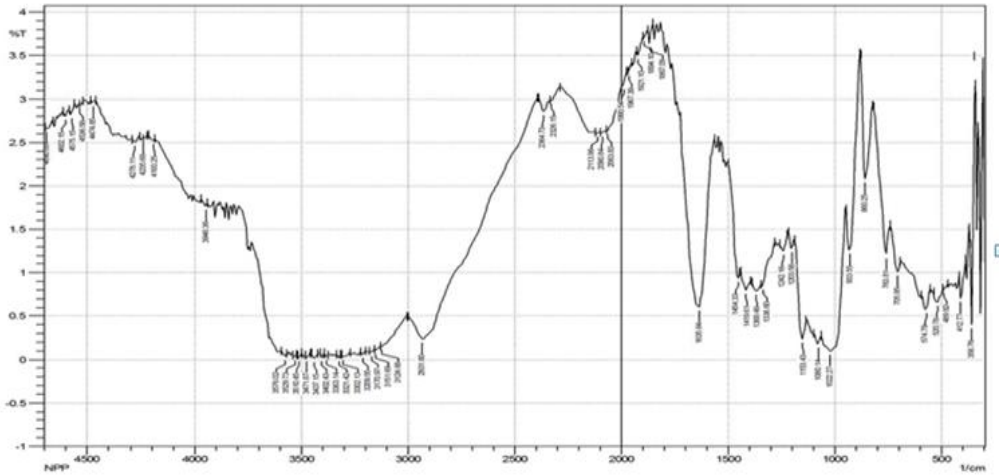

Figure 1: Fourier Transform Infrared Spectrum (FTIR) of Potato Starch

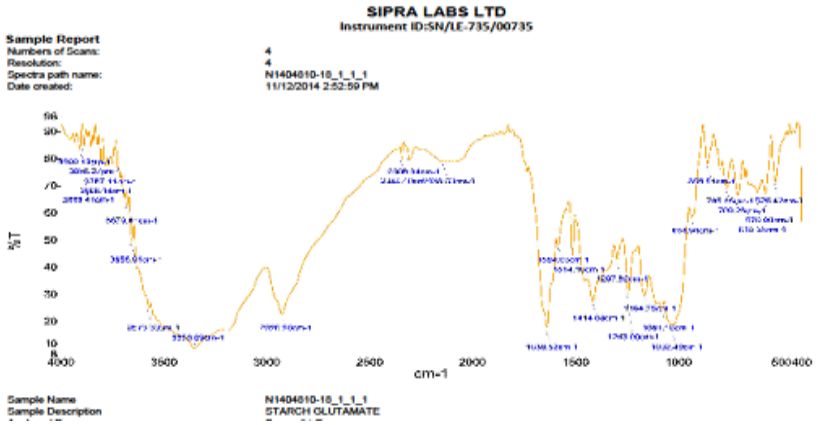

Figure 2: Fourier Transform Infrared Spectrum (FTIR) of Starch Glutamate

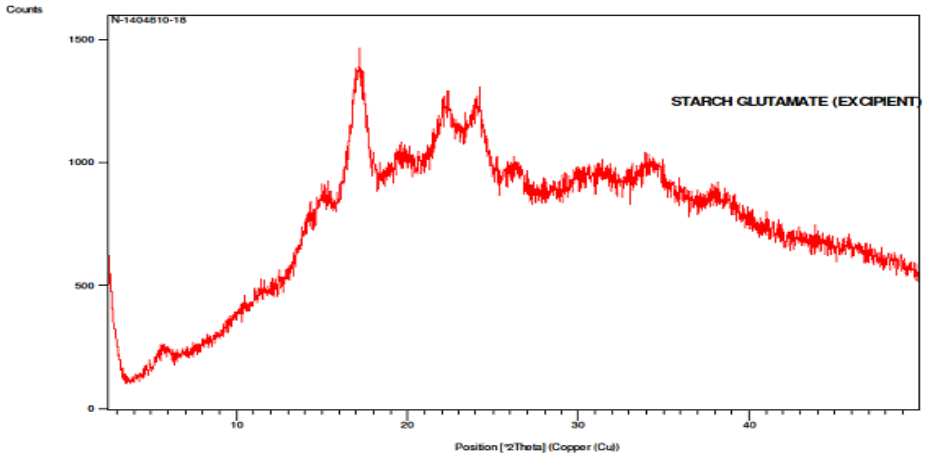

Figure 3: XRD Spectrum of Starch Glutamate

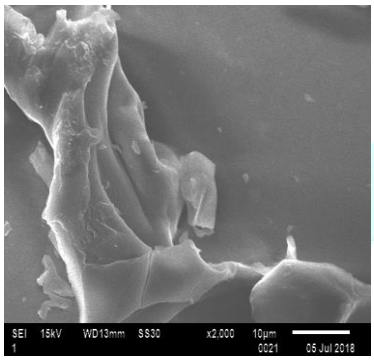

Figure 4: Scanning Electron Microscopy (SEM) of Potato Starch

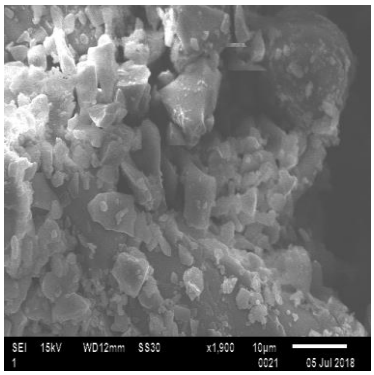

Figure 5: Scanning Electron Microscopy (SEM) of Starch Glutamate

CONCLUSION

Starch glutamate was found to be free flowing, insoluble in all aqueous and organic solvents which were tested. It was having the all the characters of an ideal superdisintegrant like good swelling index which helps in quick disintegration of the fast dissolving tablets and it was having good compressibility index and excellent flow property which in turns helps in the tablet manufacturing.. As starch glutamate has having the all suitable characteristics of an ideal superdisintegrant, it can be used as a superdisintegrant in the formulation of the fast dissolving tablets.

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